

产品名称: Ivosidenib
产品别名: Ivosidenib

生物活性:

Description	Ivosidenib (AG-120) is an orally active inhibitor of isocitrate dehydrogenase 1 mutant (mIDH1) enzyme, it exhibits profound d-2-hydroxyglutamate (2-HG) lowering in vivo. Ivosidenib (AG-120) has the potential for AML therapy due to its acceptable safety profile and clinical activity[1].				
IC ₅₀ & Target	12 nM (mouse IDH1R132H)[1]				
In Vitro	Ivosidenib (AG-120) (0-13 μM; 48 hours) inhibits several IDH1-R132 mutants with potency similar IC50 values: IDH1-R132H (IC50=12 μM); IDH1-R132C (IC50=13 μM); IDH1-R132G (IC50=8 μM); IDH1-R132L (IC50=13 μM); IDH1-R132S (IC50=12 μM), respectively[1].				
In Vivo	AG-120 (gavage administration; 50 mg/kg and 150 mg/kg) declines tumor 2-HG concentration rapidly, with maximum inhibition (92.0% and 95.2% at the 50 mg/kg and 150 mg/kg doses, respectively) achieved at -12 h post dose[1].				
	Animal Model:	Female nude BALB/c mice inoculated with HT1080 cells[1]			
	Dosage:	50 mg/kg and 150 mg/kg			
	Administration:	Gavage administration; 50 mg/kg and 150 mg/kg			
	Result:	Showed robust tumor 2-HG reduction in mouse.			
Solvent&Solubility	In Vitro: DMSO : ≥ 39 mg/mL (66.90 mM) * "≥" means soluble, but saturation unknown.				
	Preparing Stock Solutions	<div>SolventMassConcentration</div>	1 mg	5 mg	10 mg
		1 mM	1.7154 mL	8.5769 mL	17.1538 mL
		5 mM	0.3431 mL	1.7154 mL	3.4308 mL
		10 mM	0.1715 mL	0.8577 mL	1.7154 mL
	*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液 一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。 储备液的保存方式和期限：-80°C, 6 months; -20°C, 1 month。-80°C 储存时，请在 6 个月内使用，-20°C 储存时，请在 1 个月内使用。				
	In Vivo: 请根据您的实验动物和给药方式选择适当的溶解方案。以下溶解方案都请先按照 In Vitro 方式配制澄清的储备液，再依次添加助溶剂： ——为保证实验结果的可靠性，澄清的储备液可以根据储存条件，适当保存；体内实验的工作液，建议您现用现配，当天使用； 以下溶剂前显示的百分比是指该溶剂在您配制终溶液中的体积占比；如在配制过程中出现沉淀、析出现象，可以通过加热和/或超声的方式助溶				
	1.请依序添加每种溶剂： 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline Solubility: ≥ 2.5 mg/mL (4.29 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (4.29 mM，饱和度未知) 的澄清溶液。 以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 400 μL PEG300 中，混合均匀 向上述体系中加入 50 μL Tween-80，混合均匀；然后继续加入 450 μL 生理盐水定容至 1 mL。				
	2.请依序添加每种溶剂： 10% DMSO→ 90% (20% SBE-β-CD in saline)				

	<p>Solubility: 2.5 mg/mL (4.29 mM); Clear solution; Need ultrasonic</p> <p>此方案可获得 2.5 mg/mL (4.29 mM)的澄清溶液。</p> <p>以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 20% 的 SBE-β-CD 生理盐水溶液中，混合均匀。</p> <p>3.请依序添加每种溶剂： 10% DMSO \rightarrow90% corn oil</p> <p>Solubility: \geq 2.5 mg/mL (4.29 mM); Clear solution</p> <p>此方案可获得 \geq 2.5 mg/mL (4.29 mM, 饱和度未知) 的澄清溶液，此方案不适用于实验周期在半个月以上的实验。</p> <p>以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。</p>
References	<p>[1]. Popovici-Muller J, et al. Discovery of AG-120 (Ivosidenib): A First-in-Class Mutant IDH1 Inhibitor for the Treatment of IDH1Mutant Cancers. ACS Med Chem Lett. 2018 Jan 19;9(4):300-305.</p>



源叶生物